



01/31/97

Sheet 1 of 7

Form PTO-1449		Docket No.: EMU108DIV(1)		Application No.: 08/488,097			
INFORMATION DISCLOSURE CITATION IN AN APPLICATION (Use several sheets if necessary)		Applicant: Dennis C. Liotta, <i>et al.</i>					
		Filing Date: June 7, 1995		Group Art Unit: 1205			
U.S. PATENT DOCUMENTS							
Examiner Initial		Document Number	Date	Name	Class	Subclass	Filing Date If Appropriate
KW	AA	5,587,480	12/24/96	Belleau, <i>et al.</i>	544	310	
KW	AB	5,539,116	07/23/96	Liotta and Choi	544	317	
KW	AC	5,532,246	07/02/96	Belleau, <i>et al.</i>	514	274	
KW	AD	5,486,520	01/23/96	Belleau, <i>et al.</i>	514	274	
KW	AE	5,466,806	11/14/95	Belleau, <i>et al.</i>	544	310	
KW	AF	5,444,063	08/22/95	Schinazi	514	262	
KW	AG	5,276,151	01/04/94	Liotta	544	317	
KW	AH	5,270,315	12/14/93	Belleau, <i>et al.</i>	514	262	
KW	AI	5,248,776	09/28/93	Chu, <i>et al.</i>	544	310	
KW	AJ	5,234,913	08/10/93	Furman, Jr., <i>et</i>	514	49	
KW	AK	5,210,085	05/11/93	Liotta, <i>et al.</i>	514	274	
KW	AL	5,204,466	04/20/93	Liotta, <i>et al.</i>	544	317	
KW	AM	5,185,437	02/09/93	Koszalka, <i>et al.</i>	536	24	
KW	AN	5,179,104	01/12/93	Chu, <i>et al.</i>	544	310	
KW	AO	5,071,983	12/10/91	Koszalka, <i>et al.</i>	544	317	
KW	AP	5,059,690	10/22/91	Zahler, <i>et al.</i>	544	276	
KW	AQ	5,047,407	09/10/91	Belleau, <i>et al.</i>	514	274	
KW	AR	5,041,449	08/20/91	Belleau, <i>et al.</i>	514	274	
KW	AS	5,011,774	04/30/91	Farina, <i>et al.</i>	435	87	
KW	AT	4,963,533	10/16/90	de Clercq, <i>et al.</i>	514	49	
KW	AU	4,916,122	04/10/90	Chu, <i>et al.</i>	514	50	
KW	AV	4,900,828	02/13/90	Belica, <i>et al.</i>	544	317	
KW	AW	4,879,277	11/07/89	Mitsuya, <i>et al.</i>	514	49	
KW	AX	4,861,759	08/29/89	Hiroaki, <i>et al.</i>	514	46	
KW	AY	4,336,381	06/22/82	Nagata, <i>et al.</i>	544	313	
KW	AZ	4,000,137	12/28/76	Dvorch, <i>et al.</i>	260	252	
KW	AAA	07/686,617	07/02/96	Cheng, <i>et al.</i>	—	—	
KW	AAB	07/718,806	06/21/91	Cheng	—	—	
KW	AAC	07/785,545	10/31/91	Cheng	—	—	
Examiner: K. Wong		Date Considered: 01/02/98					
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KW	DA	Abobo, <i>et al.</i> , "Pharmacokinetics of 2',3'-Dideoxy-5-fluoro-3'-thiacytidine in Rats," <i>J. of Pharmaceutical Sciences</i> , 83(1):96-99 (1994)	
KW	DB	Agranat and Biedermann, "Intellectual Property and Chirality: Patentability of Enantiomers of Racemic Drugs in a Racemic Switch Scenario," <i>8th Chirality Conference, Edinburgh, UK (7/2/96)</i>	
KW	DC	Balzarini, J., <i>et al.</i> , "Potent and Selective Anti-HTLV-III/LAV Activity of 2',3'-Dideoxycytidine, the 2',3'-Unsaturated Derivative of 2',3'-Dideoxycytidine," <i>Biochemical and Biophysical Research Communications</i> , 140(2): 735-742 (1986)	
KW	DD	Baschang, <i>et al.</i> , "The enantiomers of 1.beta.-adenyl-2.alpha.-hydroxy-3.beta.-(hydroxymethyl)cyclobutane," <i>Tetrahedron:Asymmetry</i> , 3(2):193-6 (1992)	
KW	DE	Belleau, B., <i>et al.</i> , "Design and Activity of a Novel Class of Nucleoside Analogs Effective Against HIV-1," <i>International Conference on AIDS</i> , Montreal, Quebec, Canada, June 4-9, 1989	
KW	DF	Borthwick, <i>et al.</i> , "Synthesis and Enzymatic Resolution of Carbocyclic 2'-Ara-Fluoro-Guanosine: A Potent New Anti-Herpetic Agent," <i>J. Chem. Soc. Commun.</i> , Vol. 10. pp. 656-658 (1988)	
KW	DG	Carter, <i>et al.</i> , "Activities of (-)-Carbovir and 3'-Azido-3'-Deoxythymidine Against Human Immunodeficiency Virus In Vitro," <i>Antimicrobial Agents and Chemotherapy</i> , 34(6):1297-1300 (1990)	
KW	DH	Chang, Chien-Neng, <i>et al.</i> , "Deoxycytidine Deaminase-resistant Stereoisomer Is the Active Form of (±)-2',3'-Dideoxy-3'-thiacytidine in the Inhibition of Hepatitis B Virus Replication," <i>The Journal of Biological Chemistry</i> , 267(20):13938-13942 (1992)	
KW	DI	Chu, C.K., <i>et al.</i> , "An Efficient Total Synthesis of 3'-Azido-3'-Deoxythymidine (AZT) and 3'-Azido-2',3'-Dideoxyuridine (AZDDU, CS-87) from D-Mannitol," <i>Tetrahedron Lett.</i> , 29(42):5349-5352 (1988)	
KW	DJ	Chu, <i>et al.</i> , "Comparative Activity of 2',3'-Saturated and Unsaturated Pyrimidine and Purine Nucleosides Against Human Immunodeficiency Virus Type 1 in Peripheral Blood Mononuclear Cells," <i>Biochem. Pharm.</i> , 37(19):3543-3548 (1988)	
KW	DK	Chu, <i>et al.</i> , "Structure-Activity Relationships of Pyrimidine Nucleosides as Antiviral Agents for Human Immunodeficiency Virus Type 1 in Peripheral Blood Mononuclear Cells," <i>J. Med. Chem.</i> , 32:612 (1989)	
KW	DL	Condreay, <i>et al.</i> , "Evaluation of the Potent Anti-Hepatitis B Virus Agent (-) <i>cis</i> -5-Fluoro-1-[2-(Hydroxymethyl)-1,3-Oxathiolan-5-yl]Cytosine in a Novel In Vivo Model," <i>Antimicrobial Agents and Chemotherapy</i> , 616-619 (1992)	
KW	DM	Connolly and Hammer, "Minireview: Antiretroviral Therapy: Reverse Transcriptase Inhibition," <i>Antimicrobial Agents and Chemotherapy</i> , 36(2):245-254 (1992)	
KW	DN	Cretton, E., <i>et al.</i> , "Catabolism of 3'-Azido-3'-Deoxythymidine in Hepatocytes and Liver Microsomes, with Evidence of Formation of 3'-Amino-3'-Deoxythymidine, a Highly Toxic Catabolite for Human Bone Marrow Cells," <i>Molecular Pharmacology</i> , 39:258-266 (1991)	
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KW	EA	Cretton, E., et al., "Pharmokinetics of 3'-Azido-3'-Dexoythymidine and its Catabolites and Interactions with Probenecid in Rhesus Monkeys," <i>Antimicrobial Agents and Chemotherapy</i> , 35(5):801-807 (1991)	
KW	EB	Doong, Shin-Lian., et al., "Inhibition of the Replication of Hepatitis B Virus <i>in vitro</i> by 2',3'-Dideoxy-3'-Thiacytidine and Related Analogues," <i>Natl. Acad. Sci. USA</i> , 88:8495-8499 (1991)	
KW	EC	Feorino, et al., "Prevention of activation of HIV-1 by antiviral agents in OM-10.1 cells," <i>Antiviral Chem. & Chemotherapy</i> , 4(1):55-63 (1993)	
KW	ED	Frick, et al., "Pharmacokinetics, Oral Bioavailability, and Metabolic Disposition in Rats of (-)-cis-5-Fluoro-1-[2-(Hydroxymethyl)-1,3-Oxathiolan-5-yl] Cytosine, a Nucleoside Analog Active against Human Immunodeficiency Virus and Hepatitis B Virus," <i>Antimicrobial Agents and Chemotherapy</i> , 37(11):2285-2292 (1993)	
KW	EE	Furman, et al., "The Anti-Hepatitis B Virus Activities, Cytotoxicities, and Anabolic Profiles of the (-) and (+) Enantiomers of cis-5-Fluoro-1-[2-(Hydroxymethyl)-1,3-Oxthiolane-5-yl]Cytosine," <i>Antimicrobial Agents and Chemotherapy</i> , 36(12):2686-2692 (1992)	
KW	EF	Herdewijn, et al., "Resolution of Aristeromycin Enantiomers," <i>J. Med. Chem.</i> , 1985, Vol. 28, 1385-1386	
KW	EG	Hoong, et al., "Enzyme-Mediated Enantioselective Preparation of Pure Enantiomers of the Antiviral Agent 2',3'-Dideoxy-5-fluoro-3'-thiacytidine (FTC) and Related Compounds," <i>J. Org. Chem.</i> , 57:5563-5565 (1992)	
KW	EH	Ito, et al., "Chirally Selective Synthesis of Sugar Moiety of Nucleosides by Chemicoenzymatic Approach: L- and D-Riboses, Showdomycin, and Cordycepin," <i>J. Am. Chem. Soc.</i> , 103:6739-6741 (1981)	
KW	EI	Jansen, et al., "High-Capacity In Vitro Assessment of Anti-Hepatitis B Virus Compound Selectivity by a Virion-Specific Polymerase Chain Reaction Assay," <i>Antimicrobial Agents and Chemotherapy</i> , 441-447 (1993)	
KW	EJ	Jeong, L., et al., "Asymmetric Synthesis and Biological Evaluation of β -L-(2R,5S)- and α -L-(2R-5R)-1,3-Oxathiolane-Pyrimidine and -Purine Nucleosides and Potential Anti-HIV Agents," <i>J. Med. Chem.</i> , 36(2):181-195 (1993)	
KW	EK	Krenitsky, T.A., et al., "3'-Amino-2',3'-Dideoxyribonucleosides of Some Pyrimidines: Synthesis and Biological Activities," <i>J. Med. Chem.</i> , Vol. 26 (1983)	
KW	EL	Krenitsky, et al., "An Enzymic Synthesis of Purine D-arabinonucleosides," <i>Carbohydrate Research</i> , 97:139-146 (1981)	
KW	EM	Lin, et al., "Potent and Selective In Vitro Activity of 3'-Deoxythymidine-2-Ene-(3'-Deoxy-2',3'-Didehydrothymidine) Against Human Immunodeficiency Virus," <i>Biochem. Pharm.</i> , 36(17):2713-2718 (1987)	
KW	EN	Mahmoudian, et al., "Enzymatic Production of Optically Pure (2'R-cis)-2'-deoxy-3'-thiacytidine (3TC, Lamivudine): A Potent Anti-HIV Agent," <i>Enzyme Microb. Technol.</i> , September 1993, Vol. 15, 749-755, published by the Glaxo Group Research	
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KW	FA	Mitsuya, H., <i>et al.</i> , "3'-Azido-3'-Deoxythymidine (BW A 509U): An Antiviral Agent that Inhibits the Infectivity and Cytopathic Effect of Human T-Lymphotropic Virus Type III/Lymphadenopathy-Associated Virus <i>In Vitro</i> , <i>Proc. Natl. Acad. Sci., USA</i> , 82:7096-7100 (1985)	
KW	FB	Mitsuya, H., <i>et al.</i> , "Molecular Targets for AIDS Therapy," <i>Science</i> , Vol. 249, pp. 1533-1544 (1990)	
KW	FC	Mitsuya, H., <i>et al.</i> , "Rapid in Vitro Systems for Assessing Activity of Agents Against HTLV-III/LAV," <i>AIDS: Modern Concepts and Therapeutic Challenges</i> , S. Broder, Ed. pp. 303-333, Marcel-Dekker, New York (1987)	
KW	FD	Norbeck, D., <i>et al.</i> , "A New 2',3'-Dideoxynucleoside Prototype with In Vitro Activity Against HIV," <i>Tetrahedron Lett.</i> , 30(46):6263-6266 (1989)	
KW	FE	Ohno, <i>et al.</i> , "Synthetic Studies on Biologically Active Natural Products by a Chemicoenzymatic Approach," <i>Tet. Letters</i> , 40:145-152 (1984)	
KW	FF	Okabe, M., <i>et al.</i> , "Synthesis of the Dideoxynucleosides ddC and CNT from Glutamic Acid, Ribonolactone, and Pyrimidine Bases," <i>J. Org. Chem.</i> , 53(20):4780-4786 (1988)	
KW	FG	Paff, <i>et al.</i> , "Intracellular Metabolism of (-)- and (+)- <i>cis</i> -5-Fluoro-1-[2-Hydroxymethyl]-1,3-Oxathiolan-5-yl]Cytosine in HepG2 Derivative 2.2.15 (Subclone P5A) Cells," <i>Antimicrobial Agents and Chemotherapy</i> , 1230-1238 (1994)	
KW	FH	Pirkle and Pochansky, "Chiral Stationary Phases for the Direct LC Separation of Enantiomers," <i>Advances in Chromatography</i> , Giddings, J.C., Grushka, E., Brown, P.R., eds.: Marcel Dekker: New York, 1987; Vol. 27, Chap. 3, pp. 73-127	
KW	FI	Richman, D. D., <i>et al.</i> , "The Toxicity of Azidothymidine (AZT) in the Treatment of Patients with AIDS and AIDS-Related Complex," <i>N. Eng. J. Med.</i> , 317(4):192-197 (1987)	
KW	FJ	Roberts, <i>et al.</i> , "Enzymic Resolution of <i>cis</i> - and <i>trans</i> -4-hydroxycyclopent-2-enylmethanol..." <i>J. Chem. Soc., Perkin Trans. 1</i> , (10):2605-7 (1991)	
KW	FK	Saari, <i>et al.</i> , "Synthesis and Evaluation of 2-Pyridinone Derivatives as HIV-1-Specific Reverse Transcriptase Inhibitors, 2. Analogues of 3-Aminopyridin-2(1H)-one," <i>J. Med. Chem.</i> , 35:3792-3802 (1992)	
KW	FL	Satsumabayashi, S. <i>et al.</i> , "The Synthesis of 1,3-Oxathiolane-5-one Derivatives," <i>Bull. Chem. Soc. Japan</i> , 45:913-915 (1972)	
KW	FM	Saunders, "Non-Nucleoside Inhibitors of HIV Reverse Transcriptase: Screening Successes-Clinical Failures," <i>Drug Design and Discovery</i> , 8:255-263 (1992)	
KW	FN	Schinazi, R.F., <i>et al.</i> , "Activities of the Four Optical Isomers of 2',3'-Dideoxy-3'-Thiacytidine (BCH-189) against Human Immunodeficiency Virus Type 1 in Human Lymphocytes," <i>Antimicrobial Agents and Chemotherapy</i> 36(3):672-676 (1992)	
KW	FO	Schinazi, R.F., <i>et al.</i> , "Insights into HIV Chemotherapy," <i>AIDS Research and Human Retroviruses</i> 8(6):963-990 (1992)	
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KW	GA	Schinazi, R.F., <i>et al.</i> , "Pharmacokinetics and Metabolism of Racemic 2',3'-Dideoxy-5-Fluoro-3'-Thiacytidine in Rhesus Monkeys," <i>Antimicrobial Agents and Chemotherapy</i> 36(11):2432-2438 (1992)	
KW	GB	Schinazi, R.F., <i>et al.</i> , "Selective Inhibition of Human Immunodeficiency Viruses by Racemates and Enantiomers of <i>cis</i> -5-Fluoro-1-[2-(Hydroxymethyl)-1,3-Oxathiolan-5-yl]Cytosine," <i>Antimicrobial Agents and Chemotherapy</i> 36(11):2423-2431 (1992)	
KW	GC	Schinazi, R.F., <i>et al.</i> , "Substrate Specificity of <i>Escherichia Coli</i> Thymidine Phosphorylase for Pyrimidine Nucleoside with an Anti-Human Immunodeficiency Virus Activity," <i>Biochemical Pharmacology</i> 44(2):199-204 (1992)	
KW	GD	Secrist, <i>et al.</i> , "Resolution of Racemic Carbocyclic Analogues of Purine Nucleosides Through the Action of Adenosine Deaminase Antiviral Activity of the Carbocyclic 2'-Deoxyguanosine Enantiomers," <i>J. Med. Chem.</i> , Vol. 30, pp. 746-749 (1987)	
KW	GE	Shewach, <i>et al.</i> , "Affinity of the antiviral enantiomers of oxathiolane cytosine nucleosides for human 2'-deoxycytidine kinase," <i>Biochem. Pharmacol.</i> , 45(7):1540-1543 (1993)	
KW	GF	Sterzycki, R.Z., <i>et al.</i> , "Synthesis and anti-HIV activity of several 2'-fluoro-containing pyrimidine nucleosides," <i>J. Med. Chem.</i> , 33(8):2150-2157 (1990)	
KW	GG	Storer, R., <i>et al.</i> , "The Resolution and Absolute Stereochemistry of the Enantiomers of <i>cis</i> -1-[2-(Hydroxymethyl)-1,3-Oxathiolan-5-yl]cytosine (BCH189): Equipotent Anti-HIV Agents," <i>Nucleosides & Nucleotides</i> , 12(2):225-236 (1993)	
KW	GH	van Roey, <i>et al.</i> , "Solid State Conformation of Anti-Human Immunodeficiency Virus Type-1 Agents: Crystal Structures of Three 3'-Azido-3'-deoxythymidine Analogues," <i>J. Am. Chem. Soc.</i> , 110:2277-2782 (1988)	
KW	GI	Vorbrüggen, <i>et al.</i> , "Nucleoside Synthesis with Trimethylsilyl Triflate and Perchlorate as Catalysts," <i>Chem. Ber.</i> , 114:1234-1255 (1981)	
KW	GJ	Wilson, <i>et al.</i> , "The 5'-Triphosphates of the (1) and (+) Enantiomers of <i>cis</i> -5-Fluoro-1-[2-(Hydroxymethyl)-1,3-Oxathiolane-5-yl]Cytosine Equally Inhibit Human Immunodeficiency Virus Type 1 Reverse Transcriptase," <i>Antimicrob. Agents and Chemother.</i> , 37(8):1720-1722 (1993)	
KW	GK	Wilson, L.J., <i>et al.</i> , "A General Method for Controlling Glycosylation Stereochemistry in the Synthesis of 2'-Deoxyribose Nucleosides," <i>Tetrahedron Lett.</i> , 31(13):1815-1818 (1990)	
KW	GL	Wilson, L.J., <i>et al.</i> , "The Synthesis and Anti-HIV Activity of Pyrimidine Dioxolanyl Nucleosides," <i>Bioorganic & Medicinal Chemistry Letters</i> , 3(2):169-174 (1993)	
KW	GM	Winslow, <i>et al.</i> , "In vitro susceptibility of clinical isolates of HIV-1 to XM323, a non-peptidyl HIV protease inhibitor," <i>AIDS</i> , 8:753-756 (1994)	
KW	GN	Zhu, Zhou, <i>et al.</i> , "Cellular Metabolism of 3'-Azido-2',3'-Dideoxyuridine with Formation of 5'-O-Diphosphohexase Derivatives by Previously Unrecognized Metabolic Pathways of 2'-Deoxyuridine Analogs," <i>Molecular Pharmacology</i> , 0:929-938 (1990)	
*	GO	Journal of Acquired Immune Deficiency Syndromes, (Raven Press, Publisher), Volume 6 (1993)	
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